

=> d his full

(FILE 'HOME' ENTERED AT 15:34:07 ON 03 MAY 2005)

FILE 'HCAPLUS' ENTERED AT 15:37:48 ON 03 MAY 2005

L1 1 SEA ABB=ON PLU=ON US20040097495/PN OR (US2000-259294# OR
US2001-259238# OR US2001-296246#)/AP, PRN

FILE 'REGISTRY' ENTERED AT 15:39:46 ON 03 MAY 2005

L2 FILE 'HCAPLUS' ENTERED AT 15:39:50 ON 03 MAY 2005
TRA L1 1- RN : 20 TERMS

L3 FILE 'REGISTRY' ENTERED AT 15:39:50 ON 03 MAY 2005
20 SEA ABB=ON PLU=ON L2

FILE 'WPIX' ENTERED AT 15:39:54 ON 03 MAY 2005

L4 1 SEA ABB=ON PLU=ON US20040097495/PN OR (US2000-259294# OR
US2001-259238# OR US2001-296246#)/AP, PRN

=> b hcap

FILE 'HCAPLUS' ENTERED AT 15:40:31 ON 03 MAY 2005

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FILE COVERS 1907 - 3 May 2005 VOL 142 ISS 19

FILE LAST UPDATED: 2 May 2005 (20050502/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all 11

L1 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:675770 HCAPLUS

DN 137:216955

ED Entered STN: 08 Sep 2002

TI Method for treating fibrotic diseases or other indications using thiadiazolium, pyridinium and pyrimidinium salts

IN Wagle, Dilip; Gall, Martin; Bell, Stanley C.; Lavoie, Edmond J.

PA Alton, Inc., USA

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002067851	A2	20020906	WO 2001-US49833	20011228 <--
	WO 2002067851	A3	20030206		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2433425 AA 20020906 CA 2001-2433425 20011228 <—
 EP 1355645 A2 20031029 EP 2001-273859 20011228 <—
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004525904 T2 20040826 JP 2002-567223 20011228 <—
 US 2002183365 A1 20021205 US 2001-36857 20011231 <—
 US 2004097495 A1 20040520 US 2003-691839 20031023 <—
 PRAI US 2000-259294P P 20001229 <—
 US 2001-259238P P 20010102 <—
 US 2001-296246P P 20010606 <—
 WO 2001-US49833 W 20011228
 US 2001-36857 A1 20011231

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002067851	ICM	A61K
JP 2004525904	FTERM	4C036/AD08; 4C036/AD21; 4C036/AD30; 4C055/AA06; 4C055/AA10; 4C055/BA01; 4C055/CA02; 4C055/CA34; 4C055/CB17; 4C055/DA01; 4C086/AA01; 4C086/AA02; 4C086/BC17; 4C086/BC86; 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA02; 4C086/ZA16; 4C086/ZA42; 4C086/ZA45; 4C086/ZA55; 4C086/ZA59; 4C086/ZA68; 4C086/ZA75; 4C086/ZA81; 4C086/ZA89; 4C086/ZA94; 4C086/ZA96; 4C086/ZB15; 4C086/ZB26; 4C086/ZB32; 4C086/ZC20 <—
US 2002183365	NCL	514/341.000; 514/252.050; 514/255.050; 514/256.000; 514/242.000; 514/396.000; 514/406.000; 544/182.000; 544/238.000; 544/333.000
US 2004097495	ECLA	A61K031/4196; A61K031/433; A61K031/452; A61K031/505 <—
	NCL	514/227.500; 514/383.000; 514/396.000; 514/406.000; 514/231.200; 514/252.100; 514/315.000; 514/365.000; 514/374.000; 514/242.000
	ECLA	A61K031/4196; A61K031/433; A61K031/452; A61K031/505; C07D213/82D; C07D239/26B; C07D285/12D6B; C07D521/00B1E2B <—

OS MARPAT 137:216955

AB The title compds. YAr+X- [I; Ar = 5-6 membered heteroaryl ring having a first ring N atom and optionally second or third ring N atoms, with the remaining ring atoms being C, O, or S, (provided the first N atom of Ar is a quaternary N and Ar is not thiazolium, oxazolium or imidazolium); Y is substituted on the first ring N atom (with the proviso that if Ar is pyrazole, indazole, triazole, benzotriazole, the second ring N atom is substituted with alkyl, alkoxy carbonylalkylene, aryl, etc.); Ar can be substituted on ring C atoms with aryl, carbamoyl, aralkyl, etc.; Y = CHR5R6 (R5 = H, alkyl, cycloalkyl, etc.; R6 = H, alkyl, alkenyl, etc.); X = a pharmaceutically acceptable anion, which may be absent if the compound provides a neutralizing salt], useful in treating or ameliorating certain fibrotic diseases or other indications linked to or associated with the formation of excess collagen, in an animal, including a human, were prepared Thus, refluxing 2-aminothiadiazole with 2-bromoacetamide in MeCN for 5 h afforded 5-amino-3-carbamoylmethyl-[1,3,4]thiadiazolium bromide. Assays to determine the activity of compds. I in breaking, reversing or inhibiting the formation of advanced glycosylation end products (AGEs) or AGE-mediated cross-links was presented (no data).

ST thiadiazolium pyridinium pyrimidinium salt prepn advanced glycosylation endproduct AGE; fibrosis thiadiazolium pyridinium pyrimidinium salt prepn

IT Glycoproteins

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (AGE (advanced glycosylation end product); preparation of thiadiazolium, pyridinium and pyrimidinium salts for reversing advanced glycosylation cross-links)

IT Inflammation
 (Crohn's disease, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)

- IT Intestine, disease
(Crohn's, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Artery, disease
Inflammation
(arteritis, treatment of temporal; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Prostate gland, disease
(benign hyperplasia, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Hyperplasia
(benign prostatic, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Fibrosis
(cutaneous, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Mammary gland, disease
(fibrocystic, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Liver, disease
- Lung, disease
- Skin, disease
(fibrosis, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Fibrosis
(hepatic, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Muscle, disease
(hypertrophy, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Intestine, disease
(inflammatory, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Connective tissue, disease
(mixed connective tissue disease, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Hypertrophy
(muscular, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Artery, disease
Inflammation
(periarteritis nodosa, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Pleura, disease
(pleurisy, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Anti-inflammatory agents
Human
(preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Fibrosis
(pulmonary, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Connective tissue, disease
(scleroderma, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Nervous system, disease
(sclerosis, treatment of cerebroscclerosis, annular sclerosis, diffuse sclerosis and lobar sclerosis; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Cystic fibrosis
Fibrosis
Hypertrophy
Myositis
Sarcoidosis
(treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)
- IT Blood vessel, disease
Inflammation

(vasculitis, treatment of; preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)

IT 13076-43-2P 63828-55-7P 454704-85-9P 454704-86-0P 454704-87-1P
 454704-88-2P 454704-89-3P 454704-90-6P 454704-91-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)

IT 98-92-0, Nicotinamide 100-39-0, Benzyl bromide 104-83-6, 4-Chlorobenzyl chloride 289-95-2, Pyrimidine 456-04-2 459-46-1, 4-Fluorobenzyl bromide 589-17-3, 4-Bromobenzyl chloride 683-57-8, 2-Bromoacetamide 824-94-2, 4-Methoxybenzyl chloride 937-20-2, 2-Chloro-1-(4-chlorophenyl)ethanone 4005-51-0, 2-Aminothiadiazole
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of thiadiazolium, pyridinium and pyrimidinium salts for treating fibrotic diseases)

=> b reg

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STRUCTURE FILE UPDATES: 2 MAY 2005 HIGHEST RN 849658-68-0
 DICTIONARY FILE UPDATES: 2 MAY 2005 HIGHEST RN 849658-68-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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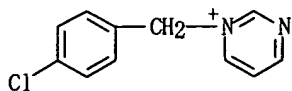
 *
 * The CA roles and document type information have been removed from *
 * the IDE default display format and the ED field has been added, *
 * effective March 20, 2005. A new display format, IDERL, is now *
 * available and contains the CA role and document type information. *
 *

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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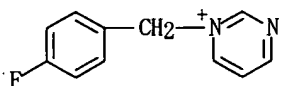
L3 ANSWER 1 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 454704-91-7 REGISTRY
 ED Entered STN: 25 Sep 2002
 CN Pyrimidinium, 1-[(4-chlorophenyl)methyl]-, chloride (9CI) (CA INDEX NAME)
 MF C11 H10 Cl N2 . Cl
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 CRN (772333-28-5)



● Cl⁻

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

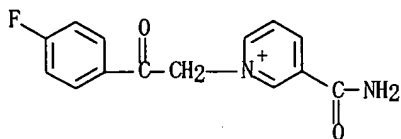
L3 ANSWER 2 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN **454704-90-6** REGISTRY
ED Entered STN: 25 Sep 2002
CN Pyrimidinium, 1-[(4-fluorophenyl)methyl]-, bromide (9CI) (CA INDEX NAME)
MF C11 H10 F N2 . Br
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
CRN (724700-30-5)



● Br⁻

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN **454704-89-3** REGISTRY
ED Entered STN: 25 Sep 2002
CN Pyridinium, 3-(aminocarbonyl)-1-[2-(4-fluorophenyl)-2-oxoethyl]-, chloride (9CI) (CA INDEX NAME)
MF C14 H12 F N2 O2 . Cl
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
CRN (327101-39-3)

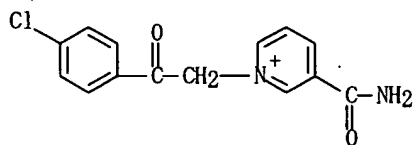


● Cl⁻

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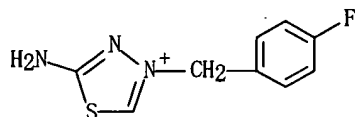
L3 ANSWER 4 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN **454704-88-2** REGISTRY
ED Entered STN: 25 Sep 2002
CN Pyridinium, 3-(aminocarbonyl)-1-[2-(4-chlorophenyl)-2-oxoethyl]-, chloride (9CI) (CA INDEX NAME)
MF C14 H12 Cl N2 O2 . Cl
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CRN (327099-06-9)

● Cl⁻

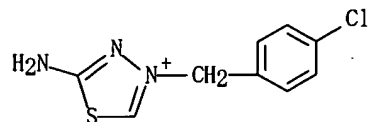
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 5 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN **454704-87-1** REGISTRY
ED Entered STN: 25 Sep 2002
CN 1,3,4-Thiadiazolium, 5-amino-3-[(4-fluorophenyl)methyl]-, bromide (9CI)
(CA INDEX NAME)
MF C9 H9 F N3 S . Br
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
CRN (760939-37-5)

● Br⁻

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

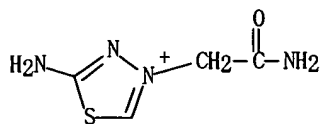
L3 ANSWER 6 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN **454704-86-0** REGISTRY
ED Entered STN: 25 Sep 2002
CN 1,3,4-Thiadiazolium, 5-amino-3-[(4-chlorophenyl)methyl]-, chloride (9CI)
(CA INDEX NAME)
MF C9 H9 Cl N3 S . Cl
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
CRN (748126-48-9)

● Cl⁻

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 7 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
RN **454704-85-9** REGISTRY

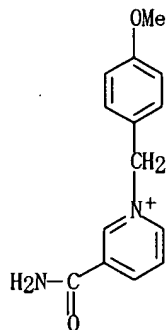
ED Entered STN: 25 Sep 2002
 CN 1,3,4-Thiadiazolium, 5-amino-3-(2-amino-2-oxoethyl)-, bromide (9CI) (CA INDEX NAME)
 MF C4 H7 N4 O S . Br
 SR CA
 LC STN Files: CA, CAPLUS, USPATFULL
 CRN (766502-45-8)



● Br⁻

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 8 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN **63828-55-7** REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Pyridinium, 3-(aminocarbonyl)-1-[(4-methoxyphenyl)methyl]-, chloride (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN 3-Carbamoyl-1-(p-methoxybenzyl)pyridinium chloride (7CI)
 OTHER NAMES:
 CN N-4'-Methoxybenzylpyridinium chloride
 MF C14 H15 N2 O2 . Cl
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, USPATFULL
 (*File contains numerically searchable property data)
 CRN (175979-55-2)



● Cl⁻

9 REFERENCES IN FILE CA (1907 TO DATE)
 9 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 9 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN **13076-43-2** REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Pyridinium, 3-(aminocarbonyl)-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN 1-Benzyl-3-carbamoylpyridinium bromide (6CI, 7CI)

CN Pyridinium, 1-benzyl-3-carbamoyl-, bromide (8CI)

OTHER NAMES:

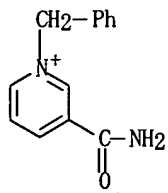
CN N-Benzyl-3-carbamoylpyridinium bromide

MF C13 H13 N2 O . Br

LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, TOXCENTER, USPATFULL

(*File contains numerically searchable property data)

CRN (16183-83-8)



● Br⁻

****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

45 REFERENCES IN FILE CA (1907 TO DATE)

45 REFERENCES IN FILE CAPLUS (1907 TO DATE)

3 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 10 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN 4005-51-0 REGISTRY

ED Entered STN: 16 Nov 1984

CN 1,3,4-Thiadiazol-2-amine (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 1,3,4-Thiadiazole, 2-amino- (6CI, 7CI, 8CI)

OTHER NAMES:

CN 1,3,4-Thiadiazol-2-ylamine

CN 1,3,4-Thiadiazole-2-amine

CN 2-Amino-1,3,4-thiadiazole

CN 2-Aminothiadiazole

CN 5-Amino-1,3,4-thiadiazole

CN Aminothiadiazole

CN NSC 4728

CN TF 128

FS 3D CONCORD

DR 37184-61-5

MF C2 H3 N3 S

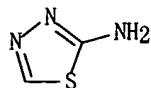
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LC STN Files: ADISINSIGHT, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSChem, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, PHAR, PROUSDDR, RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL

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Other Sources: EINECS**

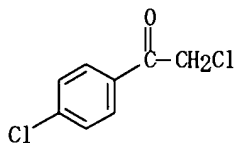
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****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

511 REFERENCES IN FILE CA (1907 TO DATE)
 31 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 512 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 29 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 11 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 937-20-2 REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Ethanone, 2-chloro-1-(4-chlorophenyl)- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Acetophenone, 2,4'-dichloro- (6CI, 7CI, 8CI)
 OTHER NAMES:
 CN α -Chloro-4-chloroacetophenone
 CN α -Chloro-p-chloroacetophenone
 CN ω -Chloro-p-chloroacetophenone
 CN 1-(4-Chlorophenyl)-2-chloroethanone
 CN 2,4'-Dichloroacetophenone
 CN 2-Chloro-1-(4-chlorophenyl)-1-ethanone
 CN 2-Chloro-1-(4-chlorophenyl)ethanone
 CN 2-Chloro-4'-chloroacetophenone
 CN 4-Chlorophenacyl chloride
 CN Chloromethyl 4-chlorophenyl ketone
 CN NSC 41669
 CN p-Chlorophenacyl chloride
 FS 3D CONCORD
 MF C8 H6 Cl2 O
 LC STN Files: ACQUIRE, BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CSCHEM, HODOC*, IFICDB, IFIPAT, IFIUDB, SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
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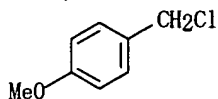


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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 10 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 12 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 824-94-2 REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Benzene, 1-(chloromethyl)-4-methoxy- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Anisole, p-(chloromethyl)- (6CI, 7CI, 8CI)
 OTHER NAMES:
 CN α -Chloro-4-methoxytoluene
 CN 1-(Chloromethyl)-4-(methoxy)benzene
 CN 1-(Chloromethyl)-4-methoxybenzene
 CN 4-(Chloromethyl)-1-methoxybenzene
 CN 4-(Chloromethyl)anisole
 CN 4-Methoxybenzyl chloride
 CN NSC 172955
 CN p-(Chloromethyl)(methoxy)benzene
 CN p-(Chloromethyl)anisole
 CN p-Anisyl chloride
 CN p-Methoxybenzyl chloride
 FS 3D CONCORD

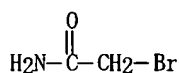
MF C8 H9 Cl O
 CI COM
 LC STN Files: ANABSTR, BEILSTEIN*, BIOSIS, CA, CAOLD, CAPLUS, CASREACT,
 CHEMCATS, CHEMINFORMRX, CHEMLIST, CSChem, GMELIN*, HODOC*, IFICDB,
 IFIPAT, IFIUDB, NIOSHTIC, PROMT, PS, SPECINFO, SYNTHLINE, TOXCENTER,
 USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 Other Sources: EINECS**
 (**Enter CHEMLIST File for up-to-date regulatory information)



****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

2091 REFERENCES IN FILE CA (1907 TO DATE)
 6 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 2095 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 21 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 13 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 683-57-8 REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Acetamide, 2-bromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN 2-Bromoacetamide
 CN Bromoacetamide
 CN NSC 77371
 FS 3D CONCORD
 MF C2 H4 Br N O
 CI COM
 LC STN Files: BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAOLD, CAPLUS, CASREACT,
 CEN, CHEMCATS, CHEMINFORMRX, CSChem, EMBASE, HODOC*, IFICDB, IFIPAT,
 IFIUDB, PROMT, RTECS*, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)

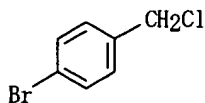


****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

363 REFERENCES IN FILE CA (1907 TO DATE)
 12 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 364 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 15 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 14 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 589-17-3 REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Benzene, 1-bromo-4-(chloromethyl)- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Toluene, p-bromo- α -chloro- (6CI, 7CI, 8CI)
 OTHER NAMES:
 CN α -Chloro-4-bromotoluene
 CN 1-Bromo-4-(chloromethyl)benzene
 CN 4-Bromobenzyl chloride
 CN p-Bromo- α -chlorotoluene
 CN p-Bromobenzyl chloride
 FS 3D CONCORD

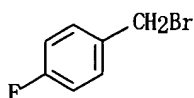
MF C7 H6 Br Cl
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CSCHEM, DETHERM*, HODOC*, IFICDB, IFIPAT, IFIUDB, MRCK*, SPECINFO, TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 Other Sources: EINECS**
 (**Enter CHEMLIST File for up-to-date regulatory information)



****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

159 REFERENCES IN FILE CA (1907 TO DATE)
 159 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 7 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 15 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 459-46-1 REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Benzene, 1-(bromomethyl)-4-fluoro- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Toluene, α -bromo-p-fluoro- (6CI, 7CI, 8CI)
 OTHER NAMES:
 CN α -Bromo-p-fluorotoluene
 CN 1-(Bromomethyl)-4-fluorobenzene
 CN 4-Fluorobenzyl bromide
 CN p-Fluorobenzyl bromide
 FS 3D CONCORD
 MF C7 H6 Br F
 CI COM
 LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, MSDS-OHS, SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 Other Sources: EINECS**, NDSL**, TSCA**
 (**Enter CHEMLIST File for up-to-date regulatory information)

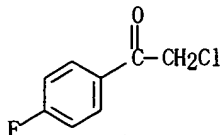


****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

811 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 811 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 12 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 16 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 456-04-2 REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Ethanone, 2-chloro-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN Acetophenone, 2-chloro-4'-fluoro- (6CI, 7CI, 8CI)
 OTHER NAMES:
 CN α -Chloro-p-fluoroacetophenone
 CN 2-Chloro-1-(4'-fluorophenyl)ethanone
 CN 2-Chloro-1-(4-fluorophenyl)-1-ethanone
 CN 2-Chloro-4'-fluoroacetophenone
 CN 4'-Fluoro-2-chloroacetophenone

CN 4-Fluorophenacyl chloride
 CN p-Fluorophenacyl chloride
 FS 3D CONCORD
 MF C8 H6 Cl F O
 CI COM
 LC STN Files: ANABSTR, BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS,
 CHEMINFORMRX, CHEMLIST, CSCHM, IFICDB, IFIPAT, IFIUIDB, MEDLINE, PS,
 RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL
 (*File contains numerically searchable property data)
 Other Sources: EINECS**
 (**Enter CHEMLIST File for up-to-date regulatory information)



****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

189 REFERENCES IN FILE CA (1907 TO DATE)
 189 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 17 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN **289-95-2** REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Pyrimidine (8CI, 9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN 1,3-Diazabenzene
 CN 1,3-Diazine
 CN m-Diazine
 CN Metadiazine
 CN Miazine
 CN NSC 89305
 FS 3D CONCORD
 MF C4 H4 N2
 CI COM, RPS
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOBUSINESS,
 BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN,
 CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHM, DETHERM*, DIPPR*, EMBASE,
 GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUIDB, IPA, MEDLINE, MRCK*, NAPRALERT,
 NIOSHTIC, PIRA, PROMT, RTECS*, SPECINFO, TOXCENTER, TULSA, USPAT2,
 USPATFULL
 (*File contains numerically searchable property data)
 Other Sources: EINECS**, NDSL**, TSCA**
 (**Enter CHEMLIST File for up-to-date regulatory information)



****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

7460 REFERENCES IN FILE CA (1907 TO DATE)
 2829 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 7466 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 18 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN
 RN **104-83-6** REGISTRY
 ED Entered STN: 16 Nov 1984
 CN Benzene, 1-chloro-4-(chloromethyl)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Toluene, p, α -dichloro- (8CI)

OTHER NAMES:

CN (4-Chlorophenyl)methyl chloride

CN α ,4-DichlorotolueneCN α ,p-Dichlorotoluene

CN 1-(Chloromethyl)-4-chlorobenzene

CN 1-Chloro-4-(chloromethyl)benzene

CN 4-Chlorobenzyl chloride

CN NSC 34032

CN p, α -Dichlorotoluene

CN p-Chlorobenzyl chloride

CN p-Chlorophenylmethyl chloride

FS 3D CONCORD

MF C7 H6 Cl2

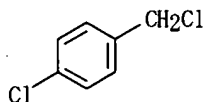
CI COM

LC STN Files: AGRICOLA, AQUIRE, BEILSTEIN*, BIOBUSINESS, BIOSIS, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, MSDS-OHS, NIOSHTIC, PROMT, PS, RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, ULIDAT, USPAT2, USPATFULL

(*File contains numerically searchable property data)

Other Sources: EINECS**, NDSL**, TSCA**

(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1730 REFERENCES IN FILE CA (1907 TO DATE)

15 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1733 REFERENCES IN FILE CAPLUS (1907 TO DATE)

4 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 19 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN **100-39-0** REGISTRY

ED Entered STN: 16 Nov 1984

CN Benzene, (bromomethyl)- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Toluene, α -bromo- (8CI)

OTHER NAMES:

CN (Bromomethyl)benzene

CN (Bromophenyl)methane

CN α -BromotolueneCN ω -Bromotoluene

CN Benzyl bromide

CN NSC 8041

CN Phenylmethyl bromide

FS 3D CONCORD

MF C7 H7 Br

CI COM

LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, DETHERM*, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, MEDLINE, MRCK*, NIOSHTIC, PDLCOM*, PIRA, PROMT, PS, RTECS*, SPECINFO, SYNTHLINE, TOXCENTER, ULIDAT, USPAT2, USPATFULL

(*File contains numerically searchable property data)

Other Sources: DSL**, EINECS**, TSCA**

(**Enter CHEMLIST File for up-to-date regulatory information)

Ph-CH₂-Br****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

12848 REFERENCES IN FILE CA (1907 TO DATE)
99 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
12889 REFERENCES IN FILE CAPLUS (1907 TO DATE)
6 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L3 ANSWER 20 OF 20 REGISTRY COPYRIGHT 2005 ACS on STN

RN 98-92-0 REGISTRY

ED Entered STN: 16 Nov 1984

CN 3-Pyridinecarboxamide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Nicotinamide (8CI)

OTHER NAMES:

CN β -Pyridinecarboxamide

CN 3-(Aminocarbonyl)pyridine

CN 3-Amidopyridine

CN 3-Carbamoylpyridine

CN 3-Pyridinecarboxylic acid amide

CN Aminicotin

CN Benicot

CN Delonin Amide

CN Dipehyl

CN m-(Aminocarbonyl)pyridine

CN NAM

CN Niacinamide

CN Niavit PP

CN Nicamina

CN Nicamindon

CN Nicasir

CN Nicobion

CN Nicofort

CN Nicosan 2

CN Nicosylamide

CN Nicotilamide

CN Nicotine acid amide

CN Nicotinic acid amide

CN Nicotinic amide

CN Nicotylamide

CN Nicovit

CN Nicovitina

CN Nictoamide

CN Niocinamide

CN Niozymin

CN NSC 13128

CN NSC 27452

CN Papulex

CN Pelmin

CN Pelmine

CN Pelonin amide

CN Vi-Nicotyl

CN Vitamin B

CN Vitamin B3

FS 3D CONCORD

DR 123574-63-0, 37321-14-5, 78731-47-2

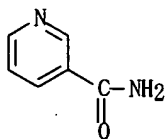
MF C6 H6 N2 O

CI COM

SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*,
BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS,
CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM,
CSNB, DDFU, DETHERM*, DIOGENES, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*,
IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT,
NIOHTIC, PDLCOM*, PHAR, PIRA, PROMT, PROUSDDR, PS, RTECS*, SPECINFO,
TOXCENTER, USAN, USPAT2, USPATFULL, VTB

(*File contains numerically searchable property data)
 Other Sources: DSL**, EINECS**, TSCA**, WHO
 (**Enter CHEMLIST File for up-to-date regulatory information)



****PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT****

8722 REFERENCES IN FILE CA (1907 TO DATE)
 389 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 8734 REFERENCES IN FILE CAPLUS (1907 TO DATE)
 9 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> b wpix

FILE 'WPIX' ENTERED AT 15:40:49 ON 03 MAY 2005
 COPYRIGHT (C) 2005 THE THOMSON CORPORATION

FILE LAST UPDATED: 27 APR 2005 <20050427/UP>
 MOST RECENT DERWENT UPDATE: 200527 <200527/DW>
 DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

>>> FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
 PLEASE VISIT:
http://www.stn-international.de/training_center/patents/stn_guide.pdf <<<

>>> FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
<http://thomsonderwent.com/coverage/latestupdates/> <<<

>>> FOR INFORMATION ON ALL DERWENT WORLD PATENTS INDEX USER
 GUIDES, PLEASE VISIT:
<http://thomsonderwent.com/support/userguides/> <<<

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 DOCUMENTATION NOW AVAILABLE IN DERWENT WORLD PATENTS INDEX
 FIRST VIEW - FILE WPIFV.
 FOR FURTHER DETAILS: <http://www.thomsonderwent.com/dwpifv> <<<

>>> THE CPI AND EPI MANUAL CODES HAVE BEEN REVISED FROM UPDATE 200501.
 PLEASE CHECK:
<http://thomsonderwent.com/support/dwpioref/reftools/classification/code-revision/>
 FOR DETAILS. <<<

=> d all 14

L4 ANSWER 1 OF 1 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN
 AN 2002-732723 [79] WPIX
 DNC C2002-207309
 TI Use of a heteroaryl derivative for treating amelioration of an indication
 e.g. fibrotic disease.
 DC B02 B03
 IN BELL, S C; GALL, M; LAVOIE, E J; WAGLE, D
 PA (BELL-I) BELL S C; (GALL-I) GALL M; (LAVO-I) LAVOIE E J; (WAGL-I) WAGLE D;
 (ALTE-N) ALTEON INC
 CYC 98
 PI WO 2002067851 A2 20020906 (200279)* EN 104 A61K000-00
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
 NL OA PT SD SE SL SZ TR TZ UG ZM ZW
 W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
 DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR

KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU
SD SE SG SI SK SL TJ TM TR TT TZ UA UG UZ VN YU ZA ZW

US 2002183365 A1 20021205 (200301) A61K031-53
EP 1355645 A2 20031029 (200379) EN A61K031-41
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
RO SE SI TR

AU 2001297612 A1 20020912 (200433) A61K000-00
US 2004097495 A1 20040520 (200434) A61K031-54 <—
JP 2004525904 W 20040826 (200456) 181 A61K031-433
MX 2003005954 A1 20040601 (200504) A61K000-00000

ADT WO 2002067851 A2 WO 2001-US49833 20011228; US 2002183365 A1
Provisional US 2000-259294P 20001229, Provisional US
2001-259238P 20010102, Provisional US 2001-296246P 20010606
, US 2001-36857 20011231; EP 1355645 A2 EP 2001-273859 20011228, WO
2001-US49833 20011228; AU 2001297612 A1 AU 2001-297612 20011228; US
2004097495 A1 **Provisional US 2000-259294P 20001229,**
Provisional US 2001-259238P 20010102, Provisional US
2001-296246P 20010606, Cont of US 2001-36857 20011231, US 2003-691839
20031023; JP 2004525904 W WO 2001-US49833 20011228, JP 2002-567223
20011228; MX 2003005954 A1 WO 2001-US49833 20011228, MX 2003-5954 20030627

FDT EP 1355645 A2 Based on WO 2002067851; AU 2001297612 A1 Based on WO
2002067851; JP 2004525904 W Based on WO 2002067851; MX 2003005954 A1 Based
on WO 2002067851

PRAI US 2001-296246P 20010606; US 2000-259294P
20001229; US 2001-259238P 20010102; US
2001-36857 20011231; US 2003-691839 20031023

IC ICM A61K000-00; A61K000-00000; A61K031-41; A61K031-433; A61K031-53;
A61K031-54
ICS A61K031-425; A61K031-44; A61K031-4425; A61K031-4439; A61K031-445;
A61K031-497; A61K031-501; A61K031-505; A61K031-506; A61K031-535;
A61P003-10; A61P007-06; A61P009-00; A61P009-02; A61P009-10;
A61P009-12; A61P011-00; A61P011-06; A61P013-12; A61P015-10;
A61P019-02; A61P019-08; A61P021-00; A61P025-00; A61P025-02;
A61P025-28; A61P027-12; A61P029-00; A61P031-10; A61P035-00;
A61P037-00; A61P043-00; C07D213-90; C07D403-04

AB WO 200267851 A UPAB: 20021209
NOVELTY - Treatment of amelioration of an indication involves the use of a
heteroaryl derivative.
DETAILED DESCRIPTION - Treatment of amelioration of an indication
involves the use of a compound of formula Y-Ar+ X- (I) or its salt.
Ar = 5-6 membered heteroaryl having a quaternary N atom (and
optionally containing 1-2 further N-atoms) and optionally substituted);
Y = substituent on the quaternary N atom of Ar; and
X = anion;
provided that Ar is not thiazolium, oxazolium or imidazolium.
Full definitions are given in the DEFINITIONS (Full Definitions)
field.
An INDEPENDENT CLAIM is also included for compounds of formula (II)
(excluding 3-(2-(4-bromophenyl)-2-oxoethyl)-1,3,4-thiadiazolium or
3-(phenylmethyl)-1,3,4-thiadiazolium), (III) (excluding
1-(2-(4-methylphenyl)-2-oxoethyl)-pyridinium), (IV) and (V).
R11-R22 = e.g. H, acylamino or alkanoyl; and
Y asterisk, Y2-Y4 = -CH(R5)-R6.
Full definitions are given in the DEFINITIONS (Full Definition)
section.
ACTIVITY - Dermatological; Immunosuppressive; Cytostatic;
Antiinflammatory; Gastrointestinal; Antiarteriosclerotic; Cardiant;
Cerebroprotective; Vasotropic; Hepatotropic; Neuroprotective;
Antirheumatic; Antiarthritic; Osteopathic; Antiasthmatic; Antianemic;
Antisickling; Hemostatic; Uropathic; Antidiabetic; Hypotensive;
Cytostatic; Ophthalmological; Nootropic; Neuroprotective.
MECHANISM OF ACTION - Cross-linking of glycated bovine serum albumin
inhibitor.
Details of assays are disclosed, but no biological data is given.
USE - For treating amelioration of an indication (claimed); fibrotic
disease (e.g. systemic sclerosis, mixed connective tissue disease,
fibrodysplasia, fibrocystic disease, sarcoidosis, myositis, vasculitis,
polyarteritis nodosa, temporal arteritis, scleroderma, eosinophilic
fasciitis, discoid lesions associated with lupus or discoid lupus or
surgical adhesions, cerebroscclerosis, annular sclerosis, diffuse

sclerosis, lobar sclerosis, pulmonary fibrosis, idiopathic pulmonary fibrosis, the fibrotic element of pneumoconiosis, pulmonary sarcoidosis, fibrosing alveolitis, fibrotic or hypertrophic element of cystic fibrosis, chronic obstructive pulmonary disease, adult respiratory distress syndrome, emphysema, benign prostatic hypertrophy (BPH), fibrosis of liver, inflammatory bowel disease, Crohn's disease, arteriosclerosis, atherosclerosis, stiff vessel disease, peripheral vascular disease, coronary heart disease, stroke, myocardial infarct, cardiomyopathies, restenosis, bladder elasticity, macular degeneration, amyotrophic lateral sclerosis, rheumatoid arthritis, osteoarthritis, bone resorption, dialysis, asthma, carpal tunnel syndrome, periodontal disease, sickle cell anemia, erectile dysfunction, limited joint mobility, antineoplastic applications, end stage renal disease, diabetic nephropathy, hypertension, isolated systolic hypertension, heart failure, retinopathy, cataracts, other damages to lens proteins or Alzheimer's disease.

Dwg. 0/0

FS CPI

FA AB; GI; DCN

MC CPI: B14-C03; B14-C09A; B14-C09B; B14-E10C; B14-F01; B14-F01E; B14-F02;
B14-F02B; B14-H01; B14-H02; B14-J01A4; B14-K01A; B14-K01F; B14-N01;
B14-N03; B14-N06B; B14-N07; B14-N10; B14-N12; B14-N17C

=> b home

FILE 'HOME' ENTERED AT 15:41:08 ON 03 MAY 2005

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